# Jon Epperson 09/679,331

## => d his

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(FILE 'REGISTRY' ENTERED AT 11:20:47 ON 22 MAY 2003)
               DEL HIS Y
               ACT EPPERSON679/A
               _____
L1
               STR
L2
           517 SEA FILE=REGISTRY SSS FUL L1
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            80 S L2 AND 14/SZ
L3
L4
            4 S L2 AND 6-14/SZ
L5
            1 S L2 AND 5-6-14/SZ
L6
            85 S L3-L5
    FILE 'HCAPLUS' ENTERED AT 11:25:01 ON 22 MAY 2003
L7
             2 S L6
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### Jon Epperson 09/679,331

=> fil reg FILE 'REGISTRY' ENTERED AT 11:25:46 ON 22 MAY 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 MAY 2003 HIGHEST RN 518004-10-9 DICTIONARY FILE UPDATES: 20 MAY 2003 HIGHEST RN 518004-10-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d que stat 12 L1 STR

O CH2Cy CH=O @26 27 28 @29 30

VAR G1=19/H/29/22 VAR G2=AK/CY/NH/24/26 VAR G3=NH/O REP G4=(1-15) A NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 29 STEREO ATTRIBUTES: NONE

L2 517 SEA FILE=REGISTRY SSS FUL L1

Claims 25-31

100.0% PROCESSED 59761 ITERATIONS

SEARCH TIME: 00.00.06

517 ANSWERS

=> d his 13-16

=> fil hcaplus FILE 'HCAPLUS' ENTERED AT 11:26:30 ON 22 MAY 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 22 May 2003 VOL 138 ISS 21 FILE LAST UPDATED: 21 May 2003 (20030521/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d que nos 17
L1 STR
L2 517 SEA FILE=REGISTRY SSS FUL L1
L3 80 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND 14/SZ
L4 4 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND 6-14/SZ
L5 1 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND 5-6-14/SZ
L6 85 SEA FILE=REGISTRY ABB=ON PLU=ON (L3 OR L4 OR L5)
L7 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L6

=> d .ca hitstr 17 1-2

L7 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:425500 HCAPLUS

DOCUMENT NUMBER:

135:195778

TITLE:

Activation of a terminal carboxylic acid by an

internal oxazole: a novel synthesis of

### Jon Epperson 09/679,331

macrocyclodepsipeptide

AUTHOR(S): Zhao, Ganq; Sun, Xiaowen; Bienayme, Hugues; Zhu,

Jieping

CORPORATE SOURCE: Institut de Chimie des Substances Naturelles, CNRS,

Gif-sur-Yvette, 91198, Fr.

SOURCE: Journal of the American Chemical Society (2001),

123(27), 6700-6701

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:195778

AB A new concept for the construction of macrocyclodepsipeptides from simple and readily available starting materials has been developed. The sequence consists of (a) a three-component reaction of an aldehyde, an amino alc., and a dipeptide isocyanate and (b) a domino process involving an activation of the terminal carboxylic acid function by a built-in aminooxazole followed by a macrocyclization under acidic conditions. The synthesis is atom-economic since only a mol. of water and "MeO" is lost in the entire sequence. The overall process is also ecol. benign since LiOH and TFA are the only reagents used, while water and low-mol. wt. alc. (MeOH) are the only side products formed.

CC 34-3 (Amino Acids, Peptides, and Proteins)

IT 357277-90-8P 357277-91-9P 357277-92-0P 357277-93-1P 357277-94-2P 357277-95-3P 357277-96-4P 357277-97-5P 357277-98-6P 357277-99-7P 357278-00-3P 357278-01-4P 357278-02-5P 357278-03-6P

357278-04-7P 357278-05-8P 357278-06-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of macrocyclodepsipeptide involving process of activation of terminal carboxylate by built-in aminooxazole and macrocyclization)

IT 357278-00-3P 357278-01-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of macrocyclodepsipeptide involving process of activation of terminal carboxylate by built-in aminooxazole and macrocyclization)

RN 357278-00-3 HCAPLUS

CN Glycine, (2S)-2-[(4-hydroxybutyl)amino]octanoyl-L-phenylalanyl-N-methyl-, (3.fwdarw.1)-lactone (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 357278-01-4 HCAPLUS

CN Glycine, (2S)-2-[(4-hydroxybutyl)amino]octanoyl-D-phenylalanyl-N-methyl-, (3.fwdarw.1)-lactone (9CI) (CA INDEX NAME)

Relative stereochemistry.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2003 ACS 2001:265440 HCAPLUS ACCESSION NUMBER:

134:281140 DOCUMENT NUMBER:

Combinatorial synthesis of libraries of macrocyclic TITLE:

compounds useful in drug discovery

Deslongchamps, Pierre; Dory, Yves; Berthiaume, Gilles; INVENTOR(S):

Ouellet, Luc; Lan, Ruoxi

Neokimia, Inc., Can. PATENT ASSIGNEE(S):

PCT Int. Appl., 75 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                     KIND DATE
                                          APPLICATION NO.
                           -----
                                          ------
                                          WO 2000-CA1151
    WO 2001025257
                           20010412
                      A2
                                                           20001004
    WO 2001025257
                     A3
                           20011206
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            CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
            ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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    EP 1218403
                      A2
                                                           20001004
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL
                                          JP 2001-528200
    JP 2003511387
                      T2
                           20030325
                                                           20001004
PRIORITY APPLN. INFO.:
                                       CA 1999-2284459 A 19991004
                                       WO 2000-CA1151
                                                          20001004
OTHER SOURCE(S):
                        MARPAT 134:281140
```

A library of macrocyclic compds. of formula cyclo[N(X)(CH2)xCHR1CO-A-B-C-T] [A is a bivalent radical -COCHR2(CH2)yNH- or -(CH2)y-, or a covalent bond; B is -COCHR3(CH2)zNH-, -(CH2)z, or a covalent bond; C is -COCHR4(CH2)tNH-, -(CH2)t, or a covalent bond; R1-R4 = H, Me, i-Pr, i-Bu, s-Bu, benzyl, 3-indolyl, methylthioethyl, etc.; x, y, z, t = 0-2; X = arylsulfonyl, MeSO2, CF3SO2, H, CHO, MeCO, acyl, carbamoyl, 2-benzothiazolylsulfonyl, etc.; T is a radical -Y-L-Z-, where Y is CH2 or CO, Z is NH or O, and L is a bivalent radical] were prepd. in a

# Jon Epperson 09/679,331

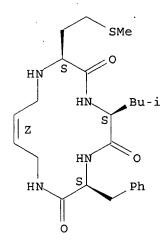
combinatorial manner for use in carrying out screening assays or as intermediates for the synthesis of other compds. of pharmaceutical interest. Thus, a tripeptide library (135 members) was prepd. by the soln. phase method. This library consists of a linear sequence of three natural L-.alpha.-amino acids linked together by an aliph. chain with 4 or 5 carbons in a head to tail manner. The first amino acids are glycine, leucine and methionine, the second ones are glycine, histidine(Doc), leucine, proline and valine, and the third amino acids are glycine, methionine and phenylalanine. An example is c-B-GGG-1 which is triglycine contg. an an N-2-thiazolylsulfonyl group (B for betsyl) and linked by (E)-CH2CH:CHCH2CH2NH, resulting from alkylation with 5-(tertbutoxycarbonyamino)-trans-2-penten-1-ol, deprotection, and cyclization. IC ICM C07K001-00 34-3 (Amino Acids, Peptides, and Proteins) Section cross-reference(s): 63 2935-90-2P, Methyl IT 2824-46-6P, 2-Benzothiazolesulfonyl chloride 3-mercaptopropionate 30250-57-8P 58885-58-8P 58885-60-2P 102845-66-9P 128490-08-4P 64244-47-9P 173254-34-7P 214749-90-3P 333438-36-1P **333438-38-3P 333438-40-7P** 333438-48-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (combinatorial synthesis of libraries of macrocyclic compds. useful in drug discovery) IT 333435-69-1P 333435-71-5P 333435-73-7P 333435-75-9P 333435-77-1P 333435-79-3P 333435-81-7P 333435-83-9P 333435-85-1P 333435-87-3P 333435-89-5P 333435-91-9P 333435-93-1P 333435-95-3P 333435-97-5P 333435-98-6P 333436-00-3P 333436-02-5P 333436-05-8P 333436-07-0P 333436-09-2P 333436-11-6P 333436-14-9P 333436-16-1P 333436-19-4P 333436-20-7P 333436-23-0P 333436-25-2P 333436-28-5P 333436-30-9P 333436-33-2P 333436-36-5P 333436-38-7P 333436-40-1P 333436-42-3P 333436-44-5P 333436-46-7P 333436-48-9P 333436-50-3P 333436-52-5P 333436-54-7P 333436-56-9P 333436-58-1P 333436-60-5P 333436-62-7P 333436-64-9P 333436-66-1P 333436-68-3P 333436-70-7P 333436-71-8P 333436-72-9P 333436-74-1P 333436-75-2P 333436-77-4P 333436-79-6P 333436-81-0P 333436-83-2P 333436-85-4P 333436-87-6P 333436-89-8P 333436-91-2P 333436-93-4P 333436-94-5P 333436-96-7P 333436-98-9P 333437-00-6P 333437-02-8P 333437-04-0P 333437-06-2P 333437-09-5P 333437-11-9P 333437-13-1P 333437-15-3P 333437-21-1P 333437-23-3P 333437-17-5P 333437-19-7P 333437-25-5P 333437-27-7P 333437-29-9P 333437-31-3P 333437-33-5P 333437-35-7P 333437-37-9P 333437-39-1P 333437-41-5P 333437-43-7P 333437-45-9P 333437-47-1P 333437-49-3P 333437-51-7P 333437-53-9P 333437-55-1P 333437-56-2P 333437-58-4P 333437-60-8P 333437-62-0P 333437-64-2P 333437-66-4P 333437-68-6P 333437-70-0P 333437-72-2P 333437-74-4P 333437-76-6P 333437-78-8P 333437-80-2P 333437-82-4P 333437-84-6P 333437-86-8P 333437-88-0P 333437-90-4P 333437-92-6P 333437-94-8P 333437-96-0P 333437-98-2P 333438-01-0P 333438-03-2P 333438-05-4P 333438-11-2P 333438-13-4P 333438-07-6P 333438-09-8P 333438-15-6P 333438-17-8P 333438-19-0P 333438-21-4P 333438-23-6P 333438-24-7P 333438-26-9P 333438-28-1P 333438-30-5P 333438-32-7P 333438-42-9P 333438-44-1P 333438-34-9P

#### Jon Epperson 09/679,331

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                    333438-54-3P
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     333438-63-4P
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     333439-13-7P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (combinatorial synthesis of libraries of macrocyclic compds. useful in
        drug discovery)
IT
     333438-38-3P 333438-40-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (combinatorial synthesis of libraries of macrocyclic compds. useful in
        drug discovery)
RN
     333438-38-3 HCAPLUS
     L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-L-methionyl-L-leucyl-,
CN
     (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

Double bond geometry as shown.



RN 333438-40-7 HCAPLUS
CN L-Phenylalanine, N-(4-amino-2-butynyl)-L-methionyl-L-leucyl-,
(3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

```
IT
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     333436-70-7P 333436-71-8P 333436-72-9P
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     333438-46-3P 333439-03-5P 333439-06-8P
     333439-09-1P 333439-10-4P 333439-13-7P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (combinatorial synthesis of libraries of macrocyclic compds. useful in
        drug discovery)
RN
     333436-64-9 HCAPLUS
     Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)glycylglyc
CN
     yl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)
```

Double bond geometry as shown.

RN 333436-66-1 HCAPLUS

CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)glycylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333436-68-3 HCAPLUS

CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)glycylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333436-70-7 HCAPLUS

CN Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333436-71-8 HCAPLUS

CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry: Double bond geometry as shown.

RN 333436-72-9 HCAPLUS

CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 333436-74-1 HCAPLUS

CN Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-methionylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333436-75-2 HCAPLUS

CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-methionylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333436-77-4 HCAPLUS

CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-methionylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 333436-79-6 HCAPLUS

CN Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)glycyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-,
(3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333436-81-0 HCAPLUS
CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)glycyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-, (3.fwdarw.1)-lactam (9CI) (CFINDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333436-83-2 HCAPLUS
CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-(2benzothiazolylsulfonyl)glycyl-1-[[2-methyl-1-(1methylethyl)propoxy]carbonyl]-L-histidyl-, (3.fwdarw.1)-lactam (9CI) (CA
INDEX NAME)

RN 333436-85-4 HCAPLUS
CN Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucyl1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-,
(3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333436-87-6 HCAPLUS
CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-,
(3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 333436-89-8 HCAPLUS

CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 333436-91-2 HCAPLUS

CN Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-methionyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333436-93-4 HCAPLUS

CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-methionyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333436-94-5 HCAPLUS

CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-methionyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333436-96-7 HCAPLUS

CN Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)glycyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 333436-98-9 HCAPLUS

CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)glycyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CAINDEX NAME)

RN 333437-00-6 HCAPLUS

CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)glycyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CAINDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333437-02-8 HCAPLUS

CN Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-04-0 HCAPLUS

CN Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-methionyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333437-06-2 HCAPLUS

CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-methionyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-09-5 HCAPLUS

CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-methionyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333437-27-7 HCAPLUS

CN Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)glycyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-29-9 HCAPLUS

CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)glycyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333437-31-3 HCAPLUS

CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)glycyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CAINDEX NAME)

RN 333437-33-5 HCAPLUS

CN Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333437-35-7 HCAPLUS

CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-37-9 HCAPLUS

CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333437-39-1 HCAPLUS

CN Glycine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-methionyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-41-5 HCAPLUS

CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-methionyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 333437-43-7 HCAPLUS

CN L-Methionine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-45-9 HCAPLUS

CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 333437-47-1 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)glycylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-49-3 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl) -N-(2-benzothiazolylsulfonyl)glycylglyc

Absolute stereochemistry.

RN 333437-51-7 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)glycylg lycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-53-9 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-55-1 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-56-2 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-58-4 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-60-8 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-62-0 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionylglycyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-64-2 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)glycyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-, (3.fwdarw.1)-lactam

# (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-66-4 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)glycyl-1[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-,
(3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-68-6 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)glycyl1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-,
(3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-70-0 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-72-2 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucyl1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-,
(3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-74-4 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-76-6 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionyl-1[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-,
(3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-78-8 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-80-2 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionyl-1-[[2-methyl-1-(1-methylethyl)propoxy]carbonyl]-L-histidyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-82-4 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)glycyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-84-6 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)glycyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-86-8 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)glycyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-88-0 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-90-4 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-92-6 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-94-8 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333437-96-0 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333437-98-2 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333438-19-0 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)glycyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333438-21-4 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)glycyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333438-23-6 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)glycyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333438-24-7 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333438-26-9 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333438-28-1 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-leucyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333438-30-5 HCAPLUS

CN Glycine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333438-32-7 HCAPLUS

CN L-Methionine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333438-34-9 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-(2-benzothiazolylsulfonyl)-L-methionyl-L-valyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333438-44-1 HCAPLUS

CN L-Phenylalanine, N-[(2Z)-4-amino-2-butenyl]-N-formyl-L-methionyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

RN 333438-46-3 HCAPLUS

CN L-Phenylalanine, N-(4-amino-2-butynyl)-N-formyl-L-methionyl-L-leucyl-, (3.fwdarw.1)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333439-03-5 HCAPLUS

CN L-Aspartic acid, N2-[(2Z)-4-amino-2-butenyl]-L-arginylglycyl-, (31.fwdarw.1)-lactam, monohydrochloride (9CI) (CA INDEX NAME)

Jon Epperson 09/679,331

## HCl

RN 333439-06-8 HCAPLUS

CN L-Aspartic acid, N2-[(2Z)-4-amino-2-butenyl]-L-arginylglycyl-, (31.fwdarw.1)-lactam, monobutanoate (9CI) (CA INDEX NAME)

CM 1

CRN 333439-05-7 CMF C16 H27 N7 O5

Absolute stereochemistry.

Double bond geometry as shown.

CM 2

CRN 107-92-6 CMF C4 H8 O2

RN 333439-09-1 HCAPLUS

CN L-Phenylalanine, N-[[2-(aminomethyl)phenyl]methyl]-L-methionyl-L-leucyl-, (3.fwdarw.1)-lactam, monobenzoate (9CI) (CA INDEX NAME)

CM 1

CRN 333439-08-0 CMF C28 H38 N4 O3 S

$$\begin{array}{c|c} \text{MeS-CH}_2-\text{CH}_2 \\ \hline \\ \text{O} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{Ph-CH}_2 \\ \end{array}$$

CM 2

CRN 65-85-0 CMF C7 H6 O2

RN 333439-10-4 HCAPLUS

CN L-Aspartic acid, N-[[2-(aminomethyl)phenyl]methyl]-L-.alpha.-glutamyl-L-prolyl-, (31.fwdarw.1)-lactam, monopotassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

K

RN 333439-13-7 HCAPLUS

CN L-Aspartic acid, N2-[[2-(aminomethyl)phenyl]methyl]-L-lysyl-L-histidyl-, (31.fwdarw.12)-lactam, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 333439-12-6 CMF C24 H33 N7 O5

CM 2

CRN 144-62-7 CMF C2 H2 O4